

L Number	Hits	Search Text	DB	Time stamp
1	13	pyrimido with (isoquinolin or 'isoquinolin-4-one')	USPAT; US-PGPUB	2003/08/15 14:53
2	29	trequinsin	USPAT; US-PGPUB	2003/08/15 14:53
3	38	(pyrimido with (isoquinolin or 'isoquinolin-4-one')) or trequinsin	USPAT; US-PGPUB	2003/08/15 14:53

EAST
9/964, 260

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NEWS 4	Feb 24	TEMA now available on STN
NEWS 5	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 6	Feb 26	PCTFULL now contains images
NEWS 7	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8	Mar 24	PATDPAFULL now available on STN
NEWS 9	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 10	Apr 11	Display formats in DGENE enhanced
NEWS 11	Apr 14	MEDLINE Reload
NEWS 12	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 13	AUG 15	Indexing from 1937 to 1946 added to records in CA/CAPLUS
NEWS 14	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 15	Apr 28	RDISCLOSURE now available on STN
NEWS 16	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 17	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 18	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19	May 19	Simultaneous left and right truncation added to WSCA
NEWS 20	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 22	Jun 06	PASCAL enhanced with additional data
NEWS 23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 24	Jun 25	HSDB has been reloaded
NEWS 25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
NEWS 26	Jul 21	Identification of STN records implemented
NEWS 27	Jul 21	Polymer class term count added to REGISTRY
NEWS 28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS 29	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 30	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS 32	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS 33	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS 34	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS EXPRESS		April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:20:04 ON 15 AUG 2003

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STRUCTURE FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9
DICTIONARY FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNnote 27, Searching Properties in the CAS Registry File, for complete details:
[http://www.cas.org/ONLINE/STN/\\$TNOTES/stnotes27.pdf](http://www.cas.org/ONLINE/STN/$TNOTES/stnotes27.pdf)

=>
Uploading 09964260.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 14:20:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE

09/ 964,260

100.0% PROCESSED 14 ITERATIONS
SEARCH TIME: 00.00.01

14 ANSWERS

L2 14 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

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FILE COVERS 1907 - 15 Aug 2003 VOL 139 ISS 8

FILE LAST UPDATED: 14 Aug 2003 (20030814/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 1 L2

=> d l3 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:707163 CAPLUS

DOCUMENT NUMBER: 133:266869

TITLE: Preparation of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors.

INVENTOR(S): Oxford, Alexander William; Jack, David

PATENT ASSIGNEE(S): Vanguard Medica Ltd., UK

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058308	A1	20001005	WO 2000-GB1193	20000329
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,			

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

NZ 514158	A	20000329	NZ 2000-514158	20000329
AU 2000041274	A5	20001016	AU 2000-41274	20000329
EP 1165558	A1	20020102	EP 2000-920857	20000329

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

BR 2000009446	A	20020115	BR 2000-9446	20000329
JP 2002540207	T2	20021126	JP 2000-608010	20000329
US 2003036542	A1	20030220	US 2001-964260	20010926
NO 2001004728	A	20011123	NO 2001-4728	20010928

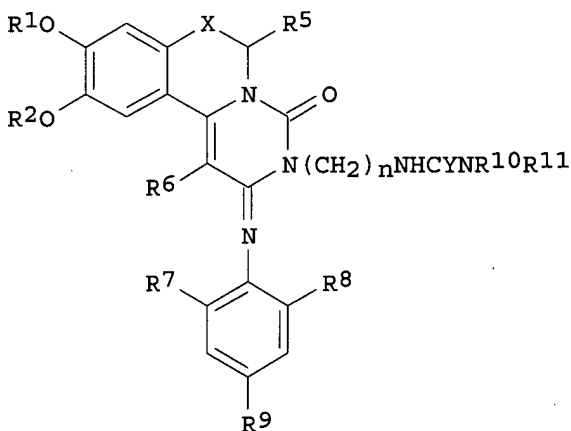
PRIORITY APPLN. INFO.:

GB 1999-7454	A	19990331
GB 1999-9802	A	19990428
WO 2000-GB1193	W	20000329

OTHER SOURCE(S):

MARPAT 133:266869

GI



I

AB Title compds. [I; R1, R2 = alkyl, acyl; R5 = H, alkyl, alkenyl, alkynyl; R6 = H, alkyl, alkenyl, alkynyl, amino, alkylamino, dialkylamino, acylamino; R7, R8 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; R9 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; X = OCH2, CR3R4; R3, R4 = H, alkyl; R10, R11 = H, alkyl, cycloalkyl, Ph; Y = O, CHNO2, NCN, NH, NNO2; n = 2-4], were prepd. I have a longer duration of action than the known compd. trequinsin (9,10-dimethoxy-3-methyl-2-mesitylimino-2,3,6,7-tetrahydro-4H-pyrimido[6,1-a]isoquinolin-4-one) and do not have trequinsin's very bitter taste. Thus, Na cyanate was added dropwise to 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one (prepn. given) in aq. HCl at 80.degree. followed by stirring for 2 h to give 54% 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(N-carbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one(II). II inhibited PDE3 with IC50 = 0.46 .mu.M and was tasteless.

IT 298680-25-8P 298680-26-9P 298680-27-0P
298680-28-1P 298680-29-2P 298680-30-5P
298680-31-6P 298680-32-7P 298680-33-8P
298680-34-9P 298680-35-0P 298680-36-1P
298680-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

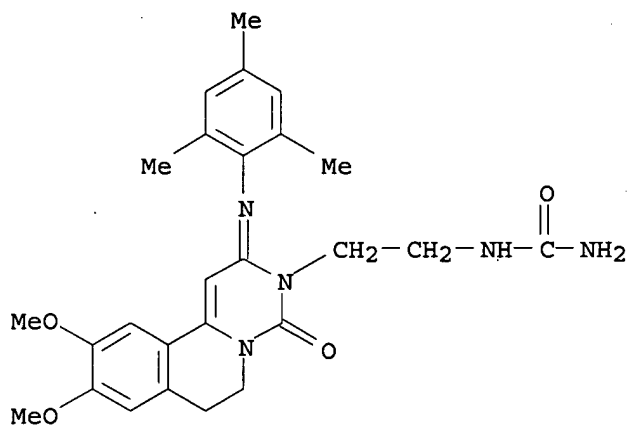
(prepn. of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors)

RN 298680-25-8 CAPLUS

*Pugnant
Version*

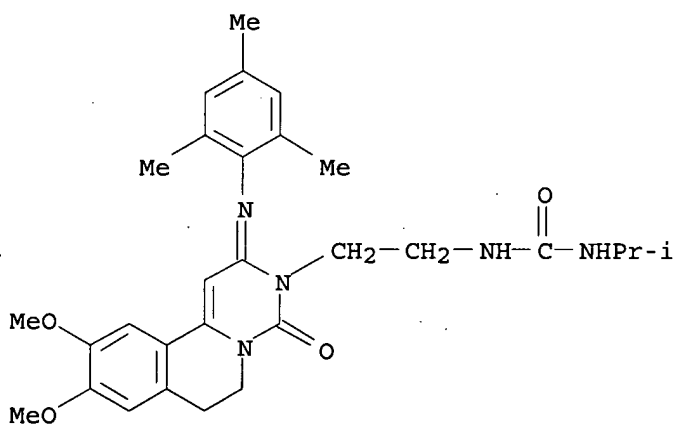
09/ 964,260

CN Urea, [2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-
(9CI) (CA INDEX NAME)



RN 298680-26-9 CAPLUS

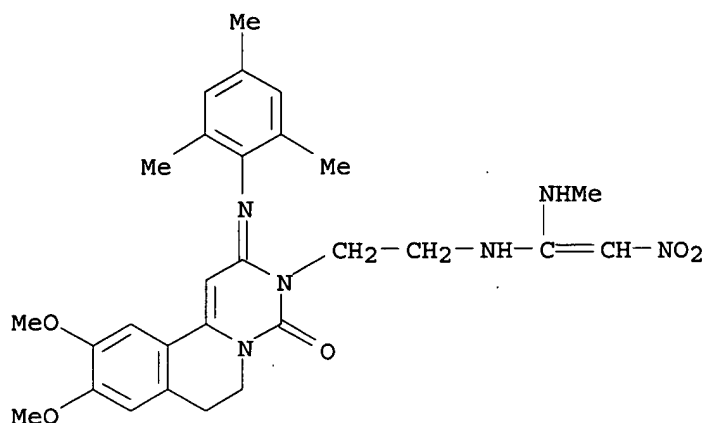
CN Urea, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)



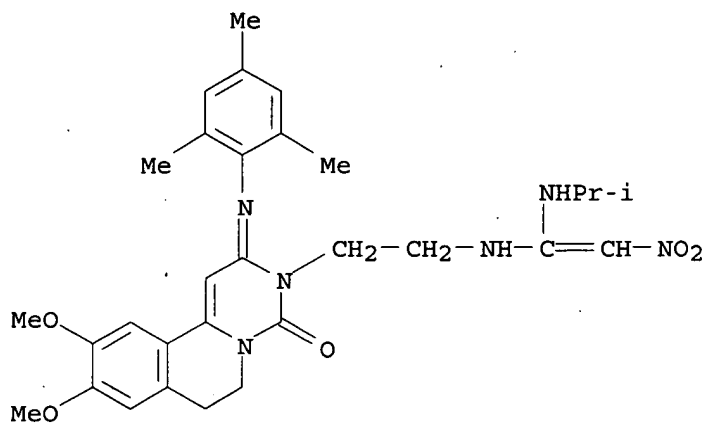
RN 298680-27-0 CAPLUS

CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[[1-(methylamino)-2-nitroethenyl]amino]ethyl]-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)

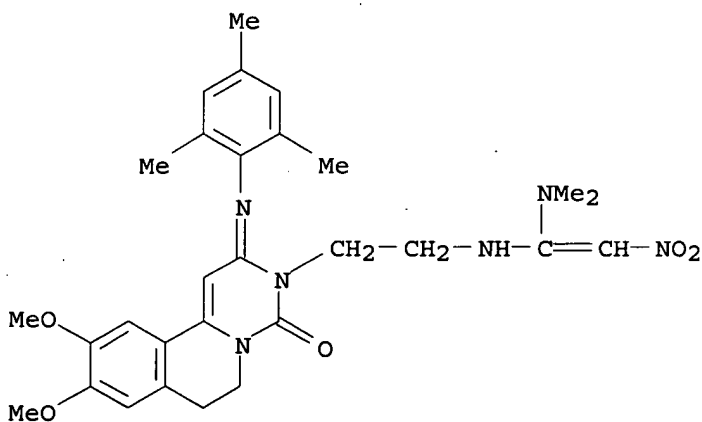
09/ 964,260



RN 298680-28-1 CAPLUS
CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[[1-[(1-methylethyl)amino]-2-nitroethenyl]amino]ethyl]-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)



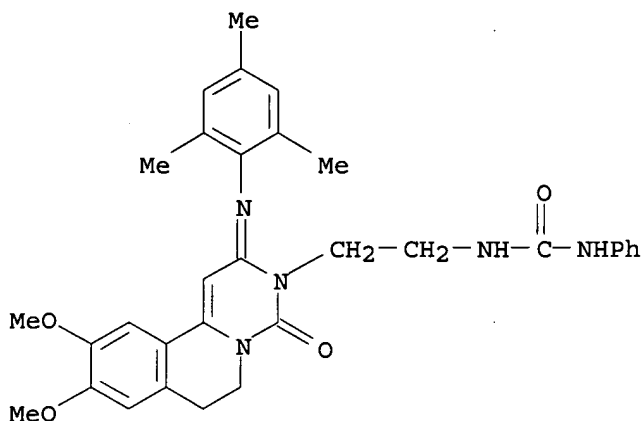
RN 298680-29-2 CAPLUS
CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 3-[2-[[1-(dimethylamino)-2-nitroethenyl]amino]ethyl]-2,3,6,7-tetrahydro-9,10-dimethoxy-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)



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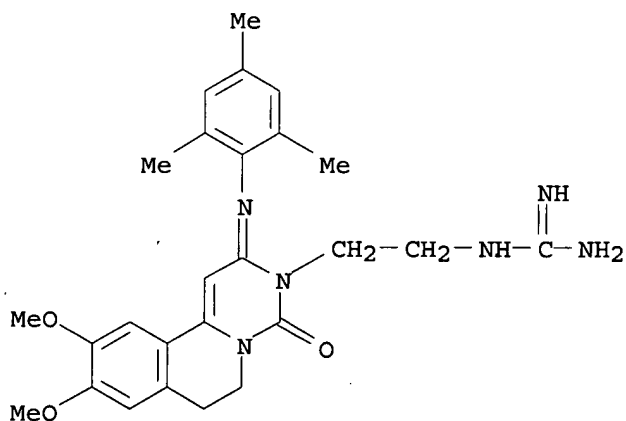
RN 298680-30-5 CAPLUS

CN Urea, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 298680-31-6 CAPLUS

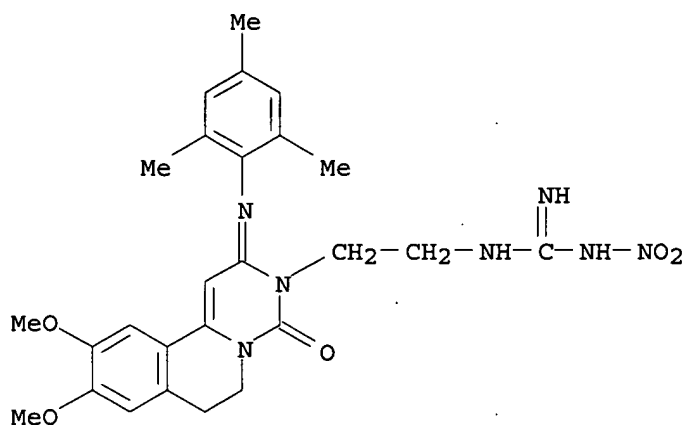
CN Guanidine, [2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 298680-32-7 CAPLUS

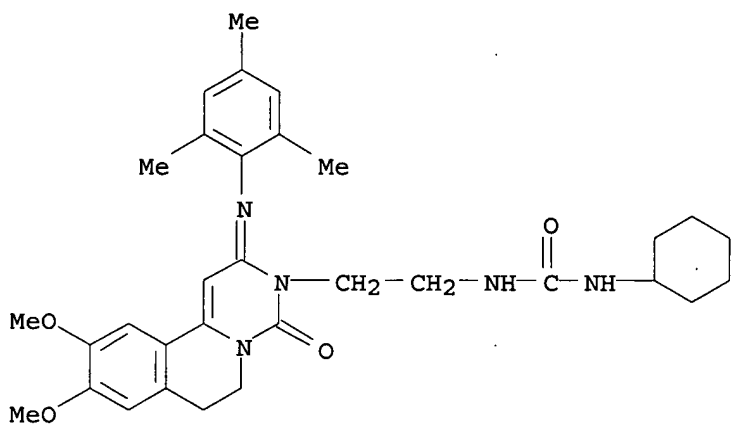
CN Guanidine, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-nitro- (9CI) (CA INDEX NAME)

09/ 964,260



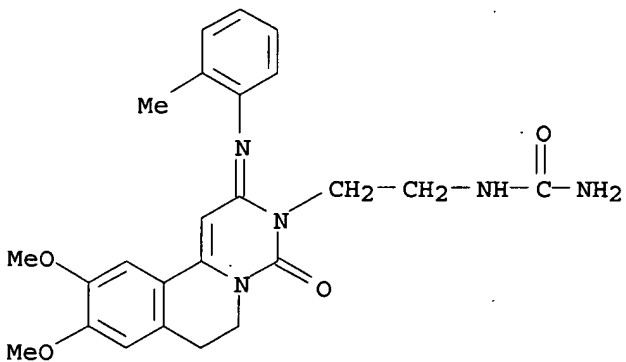
RN 298680-33-8 CAPLUS

CN Urea, N-cyclohexyl-N'-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 298680-34-9 CAPLUS

CN Urea, [2-[6,7-dihydro-9,10-dimethoxy-2-[(2-methylphenyl)imino]-4-oxo-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]- (9CI) (CA INDEX NAME)

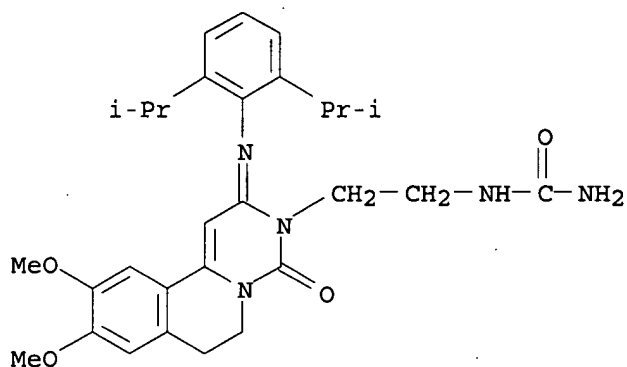


RN 298680-35-0 CAPLUS

CN Urea, [2-[2-[[2,6-bis(1-methylethyl)phenyl]imino]-6,7-dihydro-9,10-

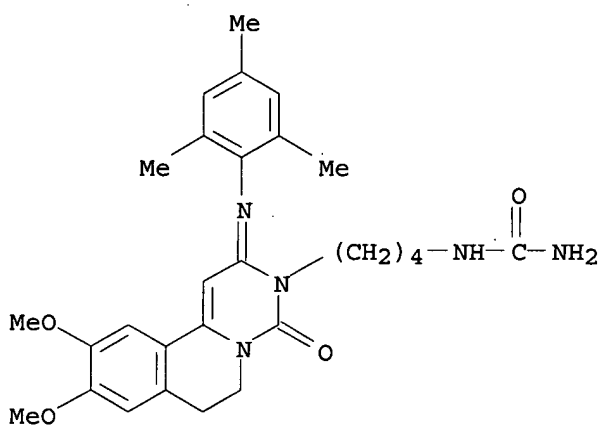
09/ 964,260

dimethoxy-4-oxo-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]- (9CI) (CA INDEX NAME)



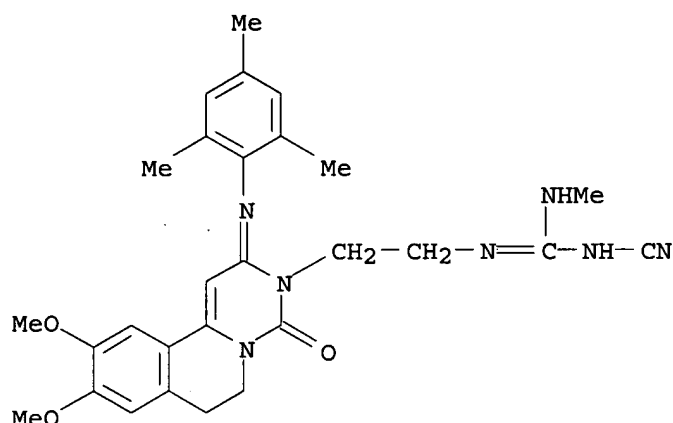
RN 298680-36-1 CAPLUS

CN Urea, [4-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]butyl]- (9CI) (CA INDEX NAME)



RN 298680-37-2 CAPLUS

CN Guanidine, N-cyano-N'-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N''-methyl- (9CI) (CA INDEX NAME)



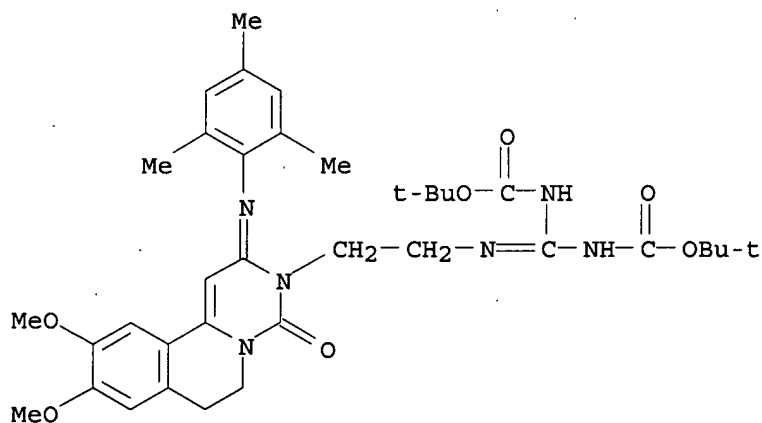
IT 298680-40-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors)

RN 298680-40-7 CAPLUS

CN Carbamic acid, [[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE

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ENTRY

SESSION

FULL ESTIMATED COST

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153.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-0.65

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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FILE 'REGISTRY' ENTERED AT 14:20:04 ON 15 AUG 2003

L1 STRUCTURE UPLOADED

L2 14 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:20:36 ON 15 AUG 2003

L3 1 S L2

FILE 'CAOLD' ENTERED AT 14:21:07 ON 15 AUG 2003

=> s l2

L4 0 L2

=> d his

(FILE 'HOME' ENTERED AT 14:19:58 ON 15 AUG 2003)

FILE 'REGISTRY' ENTERED AT 14:20:04 ON 15 AUG 2003

L1 STRUCTURE UPLOADED

L2 14 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:20:36 ON 15 AUG 2003

L3 1 S L2

FILE 'CAOLD' ENTERED AT 14:21:07 ON 15 AUG 2003

L4 0 S L2

=> log y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	0.40	153.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	0.00	-0.65

STN INTERNATIONAL LOGOFF AT 14:21:36 ON 15 AUG 2003